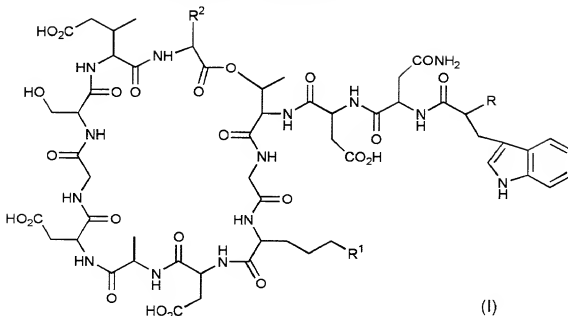


CLAIMS

We claim:

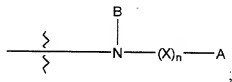
1. A compound having the formula (I):



(I)

and salts thereof;

wherein R is:



wherein X and X<sup>n</sup> are independently selected from C=O, C=S, C=NH, C=NR<sup>X</sup>, S=O or SO<sub>2</sub>;

wherein n is 0 or 1;

wherein R<sup>X</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

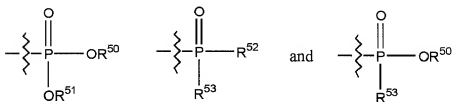
wherein B is X<sup>n</sup>R<sup>Y</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein R<sup>Y</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A is H, NH<sub>2</sub>, NHR<sup>A</sup>, NR<sup>A</sup>R<sup>B</sup>, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $R^A$  and  $R^B$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

wherein when  $n$  is 0, then  $A$  is additionally selected from:



wherein each  $R^{50}$ - $R^{53}$  is independently selected from (C<sub>1</sub>-C<sub>15</sub>) alkyl;

provided that when  $B$  is H and  $X$  is C=O, then  $A$  is other than

(a) a pyridinyl ring substituted with a single  $\text{NHC(O)R}^D$  substituent

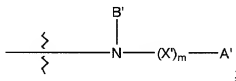
or

(b) a (C<sub>5</sub>-C<sub>6</sub>) saturated cycloalkyl ring substituted with a single

$\text{NHC(O)R}^D$  substituent, wherein  $R^D$  is (C<sub>1</sub>-C<sub>17</sub>) unsubstituted alkyl or (C<sub>2</sub>-C<sub>17</sub>) unsubstituted alkenyl; and

when  $B$  is H and  $n$  is 0, then  $A$  is not H;

wherein  $R^1$  is



wherein  $X'$  and  $X'''$  are independently selected from C=O, C=S, C=NH, C=NR<sup>X</sup>, S=O or SO<sub>2</sub>;

wherein  $m$  is 0 or 1;

wherein  $R^X$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

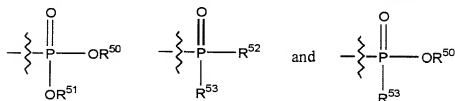
wherein  $B'$  is  $X'''R^{X'}$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $R^{Y'}$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein  $A'$  is H, NH<sub>2</sub>, NHR<sup>A'</sup>, NR<sup>A'</sup>R<sup>B'</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $R^{A'}$  and  $R^{B'}$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

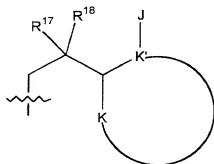
wherein when  $m$  is 0, then  $A'$  is additionally selected from:



wherein each of  $R^{50}$ - $R^{53}$  is independently selected from  $C_1$ - $C_{15}$  alkyl;

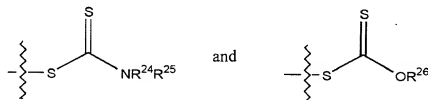
alternatively, wherein  $B'$  and  $A'$  together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein  $R^2$  is



wherein  $K$  and  $K'$  together form a  $C_3$ - $C_7$  cycloalkyl or heterocyclyl ring or a  $C_5$ - $C_{10}$  aryl or heteroaryl ring;

wherein  $J$  is selected from the group consisting of hydrido, amino,  $NHR^I$ ,  $NR^J R^K$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



wherein each of  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or  $R^{24}$  and  $R^{25}$  together form a 5-8 membered heterocyclyl ring;

wherein  $R^J$  and  $R^K$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with  $R^{17}$ , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

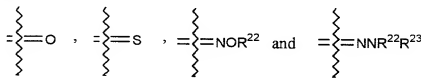
alternatively, wherein J, together with both  $R^{17}$  and  $R^{18}$ , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of  $R^{17}$  and  $R^{18}$  is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and



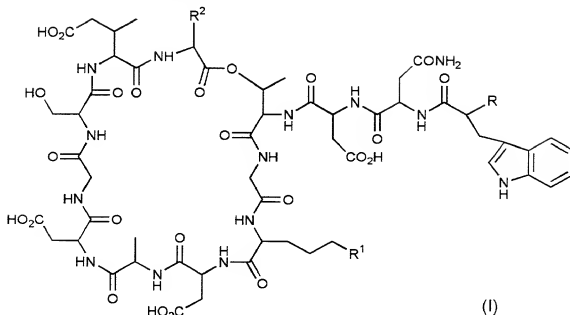
; or

wherein  $R^{17}$  and  $R^{18}$  taken together can form a group consisting of ketal, thioketal,



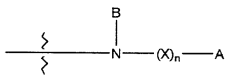
wherein each of  $R^{22}$  and  $R^{23}$  is independently selected from the group consisting of hydrido and alkyl.

2. A compound having the formula (I):



and salts thereof;

wherein R is:



wherein X and  $\text{X}^n$  are independently selected from  $\text{C}=\text{O}$ ,  $\text{C}=\text{S}$ ,  $\text{C}=\text{NH}$ ,  $\text{C}=\text{NR}^{\text{X}}$ ,  $\text{S}=\text{O}$  or  $\text{SO}_2$ ;

wherein n is 0 or 1;

wherein  $\text{R}^{\text{X}}$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is  $\text{X}^n\text{R}^{\text{Y}}$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein  $\text{R}^{\text{Y}}$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

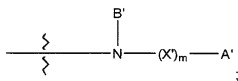
wherein A is aryl;

provided that when B is H and X is  $\text{C}=\text{O}$ , then A is other than a phenyl ring substituted with either:

(a)  $-\text{O}-((\text{C}_8\text{-C}_{15}) \text{ unsubstituted alkyl})$ , wherein said phenyl ring may be further optionally substituted with one substituent selected from halo, nitro,  $(\text{C}_1\text{-C}_3)$  alkyl, hydroxyl,  $(\text{C}_1\text{-C}_3)$  alkoxy or  $(\text{C}_1\text{-C}_3)$  alkylthio; or

(b)  $-\text{NHC}(\text{O})\text{R}^{\text{D}}$ , wherein the phenyl ring may be further optionally substituted with 1-2 substituents independently selected from amino, nitro,  $(\text{C}_1\text{-C}_3)$  alkyl, hydroxyl,  $(\text{C}_1\text{-C}_3)$  alkoxy, halo, mercapto,  $(\text{C}_1\text{-C}_3)$  alkylthio, carbamyl or  $(\text{C}_1\text{-C}_3)$  alkylcarbamyl, wherein  $\text{R}^{\text{D}}$  is  $(\text{C}_1\text{-C}_{17})$  unsubstituted alkyl or  $(\text{C}_2\text{-C}_{17})$  unsubstituted alkenyl;

wherein  $\text{R}^1$  is



wherein  $\text{X}'$  and  $\text{X}''$  are independently selected from  $\text{C}=\text{O}$ ,  $\text{C}=\text{S}$ ,  $\text{C}=\text{NH}$ ,  $\text{C}=\text{NR}^{\text{X}'}$ ,  $\text{S}=\text{O}$  or  $\text{SO}_2$ ;

wherein  $m$  is 0 or 1;

wherein  $\text{R}^{\text{X}'}$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

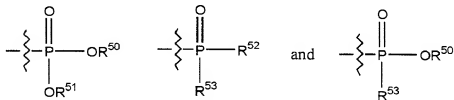
wherein  $\text{B}'$  is  $\text{X}''\text{R}^{\text{Y}'}$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $\text{R}^{\text{Y}'}$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein  $\text{A}'$  is H,  $\text{NH}_2$ ,  $\text{NHR}^{\text{A}'}$ ,  $\text{NR}^{\text{A}'}\text{R}^{\text{B}'}$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $\text{R}^{\text{A}'}$  and  $\text{R}^{\text{B}'}$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

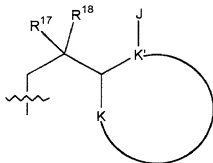
wherein when  $m$  is 0, then  $\text{A}'$  is additionally selected from:



wherein each of  $\text{R}^{50}\text{-R}^{53}$  is independently selected from  $\text{C}_1\text{-C}_{15}$  alkyl;

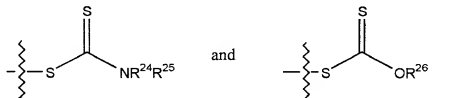
alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R<sup>2</sup> is



wherein K and K' together form a C<sub>3</sub>-C<sub>7</sub> cycloalkyl or heterocyclyl ring or a C<sub>5</sub>-C<sub>10</sub> aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR<sup>J</sup>, NR<sup>J</sup>R<sup>K</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



wherein each of R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or R<sup>24</sup> and R<sup>25</sup> together form a 5-8 membered heterocyclyl ring;

wherein R<sup>J</sup> and R<sup>K</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with R<sup>17</sup>, forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both R<sup>17</sup> and R<sup>18</sup>, forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of R<sup>17</sup> and R<sup>18</sup> is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and





wherein X and X" are independently selected from C=O, C=S, C=NH, C=NR<sup>X</sup>, S=O or SO<sub>2</sub>;

wherein n is 0 or 1;

wherein R<sup>X</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

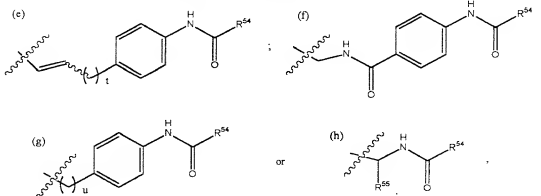
wherein B is X"R<sup>Y</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein R<sup>Y</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A is alkyl, alkenyl, alkynyl, alkoxy or aryloxy;

provided that when B is H and X is C=O, then A is other than

- (a)  $-(C_1-C_{16} \text{ unsubstituted alkyl})-NH_2$ ;
- (b)  $-(C_1-C_{10} \text{ unsubstituted alkyl})-NHC(O)R^D$ , wherein R<sup>D</sup> is (C<sub>1</sub>-C<sub>17</sub>) unsubstituted alkyl or (C<sub>2</sub>-C<sub>17</sub>) unsubstituted alkenyl;
- (c)  $-(C_1-C_{18})\text{-alkyl}$ , optionally substituted with up to one hydroxyl, carboxyl, or C<sub>1</sub>-C<sub>3</sub> alkoxy, or one to three halo substituents;
- (d)  $-(C_4-C_{18})\text{-unsubstituted alkenyl}$ ;

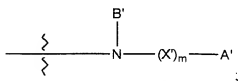


wherein R<sup>54</sup> is selected from C<sub>1</sub>-C<sub>17</sub>-unsubstituted alkyl or C<sub>2</sub>-C<sub>17</sub>-unsubstituted alkenyl; wherein R<sup>55</sup> is selected from hydroxyethyl, hydroxymethyl, mercaptomethyl, mercaptoethyl, methylthioethyl, 2-thienyl, 3-indolemethyl, phenyl optionally substituted with a group selected from halo, nitro, C<sub>1</sub>-C<sub>3</sub>-unsubstituted alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-unsubstituted alkoxy, C<sub>1</sub>-C<sub>3</sub>-unsubstituted alkylthio, carbamyl or C<sub>1</sub>-C<sub>3</sub> unsubstituted alkylcarbamyl; or benzyl optionally substituted with a group

selected from halo, nitro, C<sub>1</sub>-C<sub>3</sub>-unsubstituted alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-unsubstituted alkoxy, C<sub>1</sub>-C<sub>3</sub>-unsubstituted alkylthio, carbamyl or C<sub>1</sub>-C<sub>3</sub> unsubstituted alkylcarbamyl; wherein t is 0 or 1 and wherein u is an integer from 1-3; and

when B is H and X is C=O, then X, together with A, does not form a carbamate amino protecting group; and

when B is H and n is 0, then A is other than C<sub>4</sub>-C<sub>14</sub> unsubstituted alkyl; wherein R<sup>1</sup> is



wherein X' and X''' are independently selected from C=O, C=S, C=NH, C=NR<sup>X'</sup>, S=O or SO<sub>2</sub>;

wherein m is 0 or 1;

wherein R<sup>X'</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

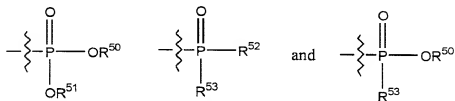
wherein B' is X'''R<sup>Y'</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>Y'</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH<sub>2</sub>, NHR<sup>A'</sup>, NR<sup>A'</sup>R<sup>B'</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

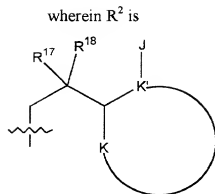
wherein R<sup>A'</sup> and R<sup>B'</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

wherein when m is 0, then A' is additionally selected from:



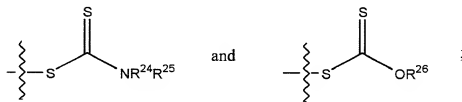
wherein each of R<sup>50</sup>-R<sup>53</sup> is independently selected from C<sub>1</sub>-C<sub>15</sub> alkyl;

alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;



wherein K and K' together form a C<sub>3</sub>-C<sub>7</sub> cycloalkyl or heterocyclyl ring or a C<sub>5</sub>-C<sub>10</sub> aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR<sup>J</sup>, NR<sup>J</sup>R<sup>K</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



wherein each of R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or R<sup>24</sup> and R<sup>25</sup> together form a 5-8 membered heterocyclyl ring;

wherein R<sup>J</sup> and R<sup>K</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with R<sup>17</sup>, forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both R<sup>17</sup> and R<sup>18</sup>, forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of R<sup>17</sup> and R<sup>18</sup> is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and



wherein X and X<sup>n</sup> are independently selected from C=O, C=S, C=NH, C=NR<sup>X</sup>, S=O or SO<sub>2</sub>;

wherein n is 0 or 1;

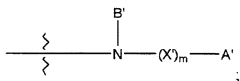
wherein R<sup>X</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is X<sup>n</sup>R<sup>Y</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein R<sup>Y</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein B and A together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R<sup>1</sup> is



wherein X' and X<sup>m</sup> are independently selected from C=O, C=S, C=NH, C=NR<sup>X'</sup>, S=O or SO<sub>2</sub>;

wherein m is 0 or 1;

wherein R<sup>X'</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

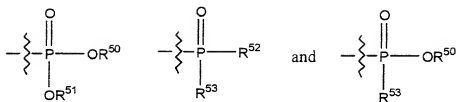
wherein B' is X<sup>n</sup>R<sup>Y'</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>Y'</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH<sub>2</sub>, NHR<sup>A'</sup>, NR<sup>A'</sup>R<sup>B'</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

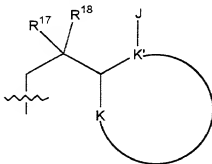
wherein R<sup>A'</sup> and R<sup>B'</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

wherein when m is 0, then A' is additionally selected from:



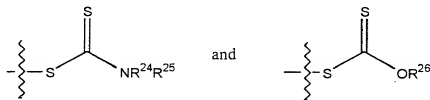
wherein each of  $R^{50}$ - $R^{53}$  is independently selected from  $C_1$ - $C_{15}$  alkyl;  
alternatively, wherein  $B'$  and  $A'$  together form a 5-7 membered  
heterocyclic or heteroaryl ring;

wherein  $R^2$  is



wherein  $K$  and  $K'$  together form a  $C_3$ - $C_7$  cycloalkyl or heterocyclyl ring  
or a  $C_5$ - $C_{10}$  aryl or heteroaryl ring;

wherein  $J$  is selected from the group consisting of hydrido, amino,  
 $NHR^J$ ,  $NR^J R^K$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl,  
heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl,  
azido, cyano, halo,



wherein each of  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  is independently selected from the  
group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or  $R^{24}$  and  $R^{25}$   
together form a 5-8 membered heterocyclyl ring;

wherein  $R^J$  and  $R^K$  are independently selected from alkyl, alkenyl,  
alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with  $R^{17}$ , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

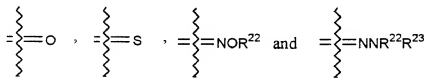
alternatively, wherein J, together with both  $R^{17}$  and  $R^{18}$ , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of  $R^{17}$  and  $R^{18}$  is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and



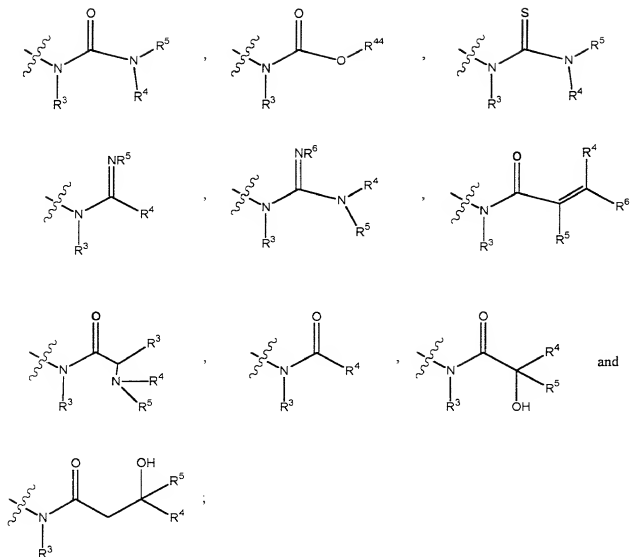
; or

wherein  $R^{17}$  and  $R^{18}$  taken together can form a group consisting of ketal, thioketal,



wherein each of  $R^{22}$  and  $R^{23}$  is independently selected from the group consisting of hydrido and alkyl.

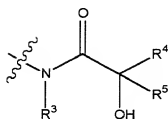
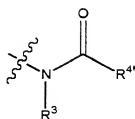
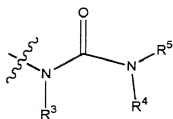
5. The compound according to any of claims 1-4, wherein R is selected from the group consisting of:



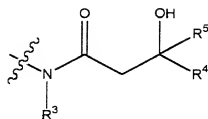
wherein each of R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, and R<sup>6</sup> is independently selected from the group consisting of hydrido, alkyl, aryl, heterocyclyl and heteroaryl, and wherein R<sup>44</sup> is selected from the group consisting of alkyl, aryl, heterocyclyl and heteroaryl.


6. The compound according to claim 5, wherein R is selected from



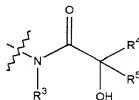
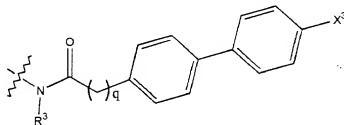
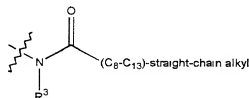
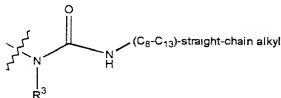


and

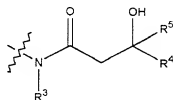


wherein  $R^{4'}$  is selected from the group consisting of alkyl, aryl-substituted alkyl, substituted phenyl, heteroaryl, heterocyclyl, optionally substituted ( $C_8$ - $C_{14}$ )-straight chain alkyl and   $SR^7$ ; wherein  $R^7$  is an alkyl group.

7. The compound according to claim 6, wherein R is selected from the group consisting of

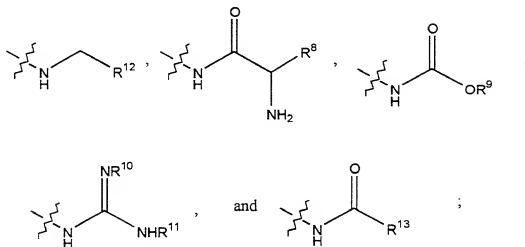


, and



wherein  $X^3$  is chloro or trifluoromethyl and wherein q is 0 or 1.

8. The compound according to any of claims 1- 4, wherein  $R^1$  is selected from the group consisting of:



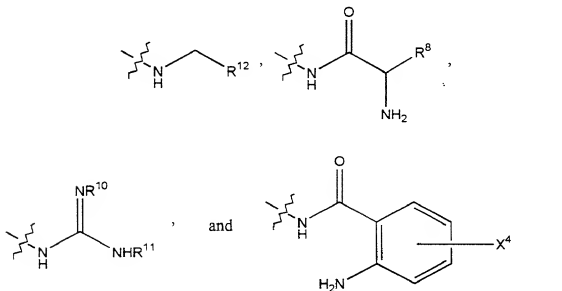
wherein  $R^8$  is selected from a natural amino acid side chain or an amino acid side chain that is not naturally occurring;

wherein each of  $R^9$ ,  $R^{10}$  and  $R^{11}$  is selected from hydrido, alkyl, aryl, heterocyclyl and heteroaryl;

wherein  $R^{12}$  is selected from the group consisting of heterocyclyl, heteroaryl, aryl, and alkyl and

wherein  $R^{13}$  is selected from ( $C_1$ - $C_3$ -alkyl) and aryl.

9. The compound according to claim 8, wherein  $R^1$  is selected from the group consisting of:



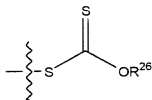
wherein  $R^8$  is selected from tryptophan side chain and lysine side chain;

wherein each of  $R^{10}$  and  $R^{11}$  is independently selected from hydrido and alkyl;

wherein  $R^{12}$  is selected from imidazolyl, N-methylimidazolyl, indolyl, quinolinyl, benzyloxybenzyl, and benzylpiperidenylbenzyl; and

wherein X is selected from fluoro, and trifluoromethyl.

10. The compound according to any of claims 1-4, wherein J is selected from the group consisting of hydrido, amino, azido and



wherein  $R^{17}$  and  $R^{18}$  taken together form a group selected from ketal,



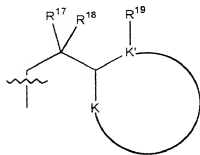
and



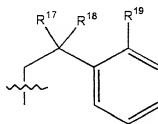
or wherein  $R^{17}$  is hydroxyl when  $R^{18}$  is hydrido;

or wherein J, together with  $R^{17}$ , forms a heterocyclyl ring.

11. The compound according to claim 10, wherein  $R^2$  is selected from the group consisting of



and



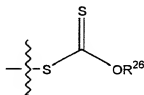
wherein  $R^{17}$  and  $R^{18}$  taken together form a group selected from



and

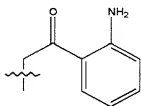


, wherein  $R^{22}$  is selected from the group consisting of H and alkyl; and wherein  $R^{19}$  is selected from the group consisting of



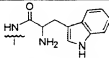

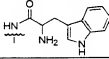

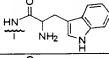

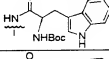

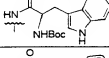

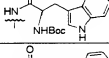

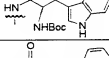

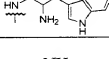

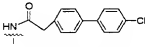

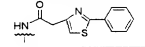

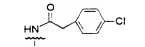
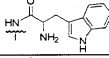

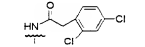
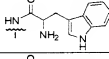

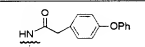
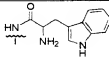

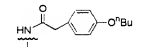
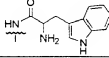

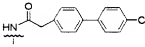
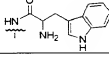

hydrido, amino, azido and

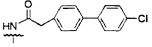
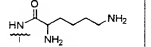

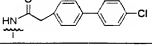
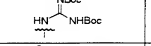

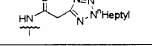
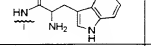

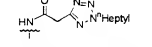
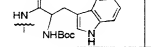

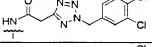

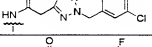

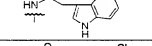

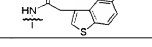


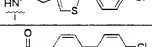

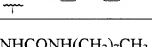
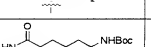

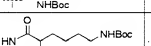

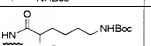

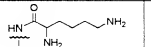

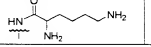



12. The compound according to claim 11, wherein  $R^2$  is



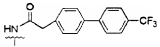








13. The compound according to any one of claims 1-4 wherein said compound is selected from

Cpd #	R	$R^1$	$R^2$
1	$NHCONH(CH_2)_7CH_3$	$NH_2$	
2	$NHCONH(CH_2)_{11}CH_3$	$NH_2$	
3	$NHCONH(CH_2)_{10}CH_3$		
5			
6			


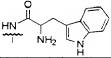

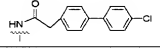




7	$\text{NH}(\text{CH}_2)_8\text{CH}_3$		
8	$\text{NHCO}(\text{CH}_2)_8\text{CO}_2\text{CH}_3$		
9	$\text{NHCO}(\text{CH}_2)_6\text{CO}_2\text{CH}_3$		
10	$\text{NHCO}(\text{CH}_2)_6\text{NHBoc}$		
11	$\text{NHCO}(\text{CH}_2)_7\text{NHBoc}$		
12	$\text{NHCO}(\text{CH}_2)_{10}\text{NHBoc}$		
13	$\text{NHCO}(\text{CH}_2)_{11}\text{NHBoc}$		
17	$\text{NHCONH}(\text{CH}_2)_{11}\text{CH}_3$		
18		$\text{NH}_2$	
19		$\text{NH}_2$	
20			
21			
22			
23			
24			

25			
34			
35			
36			
40		NH <sub>2</sub>	
41		NHBoc	
43		NHBoc	
44		NHBoc	
48	NHCONH(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	NH <sub>2</sub>	
49		NH <sub>2</sub>	
50			
56	NHCONH(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>		
57	NHCONH(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>		
58	NHCONH(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>		
62	NHCONH(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>		
63	NHCONH(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>		



64	$\text{NHCONH}(\text{CH}_2)_{11}\text{CH}_3$		
69	$\text{NHCONH}(\text{CH}_2)_7\text{CH}_3$		
70	$\text{NHCONH}(\text{CH}_2)_7\text{CH}_3$		
71	$\text{NHCONH}(\text{CH}_2)_7\text{CH}_3$		
75	$\text{NHCONH}(\text{CH}_2)_{10}\text{CH}_3$		
76	$\text{NHCONH}(\text{CH}_2)_7\text{CH}_3$		
77	$\text{NHCONH}(\text{CH}_2)_7\text{CH}_3$		
78	$\text{NHCONH}(\text{CH}_2)_7\text{CH}_3$		
87	$\text{NHCONH}(\text{CH}_2)_{11}\text{CH}_3$		
88	$\text{NHCONH}(\text{CH}_2)_{11}\text{CH}_3$		
89	$\text{NHCONH}(\text{CH}_2)_{11}\text{CH}_3$		
100		$\text{NH}_2$	
106			
108	$\text{NHCONH}(\text{CH}_2)_{10}\text{CH}_3$		
113	$\text{NHCONH}(\text{CH}_2)_{10}\text{CH}_3$		
114	$\text{NHCONH}(\text{CH}_2)_{10}\text{CH}_3$		
115		$\text{NHBoc}$	

116		NH <sub>2</sub>	
117	NHCONH(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>	NHBoc	
118	NHCONH(CH <sub>2</sub> ) <sub>8</sub> CH <sub>3</sub>	NH <sub>2</sub>	
119	NHCONH(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	NHBoc	
120	NHCONH(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	NH <sub>2</sub>	
123	NHCOCH <sub>2</sub> S(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	NH <sub>2</sub>	
124	NHCOCH <sub>2</sub> S(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	NH <sub>2</sub>	
125	NHCOCH <sub>2</sub> S(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	NH <sub>2</sub>	

14. The compound of claim 13 wherein said compound is selected from

Cpd #	R	R <sup>1</sup>	R <sup>2</sup>
2	NHCONH(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>	NH <sub>2</sub>	
3	NHCONH(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>		
18		NH <sub>2</sub>	
48	NHCONH(CH <sub>2</sub> ) <sub>10</sub> CH <sub>3</sub>	NH <sub>2</sub>	
89	NHCONH(CH <sub>2</sub> ) <sub>11</sub> CH <sub>3</sub>		



118	$\text{NHCONH}(\text{CH}_2)_8\text{CH}_3$	$\text{NH}_2$	
120	$\text{NHCONH}(\text{CH}_2)_9\text{CH}_3$	$\text{NH}_2$	

15. A pharmaceutical composition comprising the compound according to any one of claims 1-4 and a pharmaceutically acceptable carrier.

16. A method of treating or preventing a bacterial infection in a subject, comprising the step of administering a therapeutically-effective amount of the pharmaceutical composition according to claim 15 to a subject in need thereof.

17. The method according to claim 16, wherein said subject is selected from the group consisting of a human, an animal, a cell culture or a plant.

18. The method according to claim 16, wherein said bacterial infection is caused by a gram-positive bacteria.

19. The method according to claim 18, wherein said bacteria is an antibiotic-resistant bacteria.

20. The method according to claim 19, wherein said antibiotic-resistant bacteria are resistant to an antibiotic selected from the group consisting of vancomycin, methicillin, glycopeptide antibiotics, penicillin and daptomycin.

21. The method according to claim 16, further comprising the step of co-administering more than one compound of Formula (I) to a subject in need thereof.

22. The method according to claim 16, further comprising the step of co-administering an antimicrobial agent other than a compound of Formula (I) to a subject in need thereof.





23. The method according to claim 22, wherein said antimicrobial agent is selected from the group consisting of penicillins and related drugs, carbapenems, cephalosporins and related drugs, aminoglycosides, bacitracin, gramicidin, mupirocin, chloramphenicol, thiamphenicol, fusidate sodium, lincomycin, clindamycin, macrolides, novobiocin, polymyxins, rifamycins, spectinomycin, tetracyclines, vancomycin, teicoplanin, streptogramins, anti-folate agents including sulfonamides, trimethoprim and its combinations and pyrimethamine, synthetic antibacterials including nitrofurans, methenamine mandelate and methenamine hippurate, nitroimidazoles, quinolones, fluoroquinolones, isoniazid, ethambutol, pyrazinamide, para-aminosalicylic acid (PAS), cycloserine, capreomycin, ethionamide, prothionamide, thiacetazone, viomycin, eveminomycin, glycopeptide, glycylicycline, ketolides, oxazolidinone; imipenen, amikacin, netilmicin, fosfomycin, gentamicin, ceftriaxone, Zircin, LY 333328, CL 331002, HMR 3647, Linezolid, Synercid, Aztreonam, and Metronidazole, Epiroprim, OCA-983, GV-143253, Sanfetrinem sodium, CS-834, Biapenem, A-99058.1, A-165600, A-179796, KA 159, Dynemicin A, DX8739, DU 6681; Cefluprenam, ER 35786, Cefoselis, Sanfetrinem celexetil, HGP-31, Cefpirome, HMR-3647, RU-59863, Mersacidin, KP 736, Rifalazil, Kosan, AM 1732, MEN 10700, Lenapenem, BO 2502A, NE-1530, PR 39, K130, OPC 20000, OPC 2045, Venepirim, PD 138312, PD 140248, CP 111905, Sulopenem, ritipenam acoxyl, RO-65-5788, Cyclothialidine, Sch-40832, SEP-132613, micacocidin A, SB-275833, SR-15402, SUN A0026, TOC 39, carumonam, Cefozopran, Cefetamet pivoxil, and T 3811.

24. The method according to claim 22, wherein said antimicrobial agent is selected from the group consisting of imipenen, amikacin, netilmicin, fosfomycin, gentamicin, ceftriaxone, teicoplanin, Zircin, LY333328, CL331022, HMR3647, Linezolid, Synercid, Aztreonam and Metronidazole.







25. The method according to claim 17, wherein said subject is selected from the group consisting of a human or an animal.

27. A compound having the formula (II):



wherein R<sup>56</sup> is an optionally substituted straight-chain C<sub>8</sub>-C<sub>14</sub> alkyl group and wherein q' is 0-3.

Compound #	R <sup>14</sup>
45	
37	
46	
38	
47	
39	

(I')

and salts thereof, wherein  $R^{100}$ ,  $R^{101}$  and  $R^{102}$  are selected from:

[illegible][illegible]